(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 24 June 2004 (24.06.2004)

PCT

(10) International Publication Number WO 2004/052846 A1

- (51) International Patent Classification7: C07C 275/32. 275/42, 323/44, 275/40, 275/38, C07D 295/08, C07C 317/32, C07D 295/12, C07C 233/75, 237/40, 235/42, A61K 31/16, A61P 13/00, 29/00
- (21) International Application Number:

PCT/EP2003/013453

(22) International Filing Date:

28 November 2003 (28.11.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

02027523.6

6 December 2002 (06.12.2002)

- (71) Applicant (for all designated States except US): BAYER HEALTHCARE AG [DE/DE]; 51368 Leverkusen (DE).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): TAJIMI, Masaomi [JP/JP]; 1-8-17, Sakuragaoka, Seika-cho, Soraku-gun, Kyoto 619-0232 (JP). KOKUBO, Toshio [JP/JP]; 3-15-18B, Jingu, Nara-shi, Nara 631-0804 (JP). SHIROO, Masahiro [JP/JP]; 1-3-17, Shikanodai-Minami, Ikoma-shi, Nara 630-0113 (JP). TSUKIMI, Yasuhiro [JP/JP]; 2-10-1, Kukuchi, Amagasaki-shi, Hyogo 661-0977 (JP). YURA, Takeshi [JP/JP]; 1-1-17-101, Saidaiji-Nogami-cho, Nara-shi, Nara 631-0833 (JP). URBAHNS, Klaus [DE/JP]; 6-3-1-301, Kusugaoka-cho, Nada-ku, Kobe-shi, Hyogo 657-0024 (JP). YAMAMOTO, Noriyuki [JP/JP]; 6-6-1-3-404, Jingu, Nara-shi, Nara 631-0804 (JP). MOGI, Muneto [JP/JP]; 5-10-57-102, Daianji, Nara-shi, Nara 630-8133 (JP). FUJISHIMA, Hiroshi [JP/JP]; 3-23-25,

Sakyo, Nara-shi, Nara 631-0801 (JP). MASUDA, Tsutomu [JP/JP]; 3-15-6-6A, Jingu, Nara-shi, Nara 631-0804 (JP). YOSHIDA, Nagahiro [JP/JP]; 5-18-15 Saganakadai, Kizu-cho, Soraku-gun, Kyoto 619-0223 (JP). MORI-WAKI, Toshiya [JP/JP]; 2-25-4, Kitayamato, Ikoma-shi, Nara 630-0121 (JP).

- (74) Common Representative: BAYER HEALTHCARE AG; Low and Patents, Patents and Licensing, 51368 Leverkusen (DE).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: TETRAHYDRO-NAPHTHALENE DERIVATIVES

(57) Abstract: This invention relates to tetrahydro-naphthalene derivatives and salts thereof which is useful as an active ingredient of pharmaceutical preparations. The tetrahydro-naphthalene derivatives of the present invention have an excellent activity as VR1 antagonist and useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, urge urinary incontinence, chronic pain, neuropathic pain, post-operative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischaemia, neurodegeneration, stroke, inflammatory disorders, asthma and COPD.